

GenCore version 5.1.4\_p5\_4578  
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OM protein - protein search, using sw model

Run on: March 17, 2003, 07:12:51 ; Search time 35.9084 Seconds  
(without alignments)  
118.747 Million cell updates/sec

Title: US-09-787-082-5

Perfect score: 190

Sequence: 1 CKGKAGKCSRLMYDCCGSRGKCTRNLPG 32

Scoring table: BLOSUM62

Gapop 10.0 , Gapext 0.5

Searched: 908470 seqs, 133250620 residues

Total number of hits satisfying chosen parameters: 908470

Minimum DB seq length: 0

Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%

Maximum Match 100%

Listing first 45 summaries

Database : A\_Geneseq\_101002.\*

- 1: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1980.DAT.\*
- 2: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1981.DAT.\*
- 3: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1982.DAT.\*
- 4: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1983.DAT.\*
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- 6: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1985.DAT.\*
- 7: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1986.DAT.\*
- 8: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1987.DAT.\*
- 9: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1988.DAT.\*
- 10: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1989.DAT.\*
- 11: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1990.DAT.\*
- 12: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1991.DAT.\*
- 13: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1992.DAT.\*
- 14: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1993.DAT.\*
- 15: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1994.DAT.\*
- 16: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1995.DAT.\*
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- 18: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1997.DAT.\*
- 19: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1998.DAT.\*
- 20: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA1999.DAT.\*
- 21: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA2000.DAT.\*
- 22: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA2001.DAT.\*
- 23: /SIDSL1/gcgdata/geneseq/geneseq-emb1/AA2002.DAT.\*

Pred. No. is the number of results predicted by chance to have a score greater than or equal to the score of the result being printed, and is derived by analysis of the total score distribution.

SUMMARIES

Result No.	Score	Query Match	Length	ID	Description
1	190	100.0	32	21 AAY84654	Amino acid sequenc
2	173	91.1	29	21 AAY84655	Amino acid sequenc
3	161	84.7	32	21 AAY84656	Amino acid sequenc
4	151	79.5	25	14 AAR32777	MVIIA omega conoto
5	151	79.5	25	14 AAR37752	MVIIA/SNX-111. Sy
6	151	79.5	25	14 AAR39608	MVIIA/SNX111. Syn
7	151	79.5	25	16 AAR76089	Omega conotoxin MV
8	151	79.5	25	18 AAW19569	SNX-279, omega con
9	151	79.5	25	18 AAW19544	Natural omega-cono
10	151	79.5	25	18 AAW12967	Omega conopeptide

11	151	79.5	25	19 AAW72605	Conus genus natura
12	151	79.5	25	20 AAY42335	Omega-conotoxin OC
13	151	79.5	25	20 AAW95564	Omega-conopeptide
14	151	79.5	25	21 AAB14352	Omega-conopeptide
15	151	79.5	25	21 AAY56473	Natural omega cono
16	151	79.5	25	21 AAY43714	Amino acid sequenc
17	151	79.5	25	22 AAB97046	Omega-conch toxin
18	151	79.5	25	22 AAB92219	Toxin peptide SQ
19	151	79.5	25	22 AAB19442	Primary sequence o
20	151	79.5	25	23 AAO15124	Cone snail w-conot
21	151	79.5	26	12 AAR12546	Omega conotoxin pe
22	151	79.5	26	14 AAR37765	SNX-193. Syntheti
23	151	79.5	26	18 AAW19557	SNX-193, omega con
24	151	79.5	26	21 AAY56485	Analogue omega con
25	151	79.5	27	12 AAR13265	Omega conotoxin pe
26	151	79.5	27	12 AAR13266	Omega conotoxin pe
27	151	79.5	27	14 AAR37768	SNX-196. Syntheti
28	151	79.5	27	14 AAR37769	SNX-197. Syntheti
29	151	79.5	27	18 AAW19560	SNX-197, omega con
30	151	79.5	27	18 AAW19561	SNX-197, omega con
31	151	79.5	27	21 AAY56488	Analogue omega con
32	151	79.5	27	21 AAY56489	Analogue omega con
33	148	77.9	25	12 AAR12547	Omega conotoxin pe
34	148	77.9	25	22 AAB97043	Omega-conch toxin
35	147	77.4	25	22 AAB97044	Omega-conch toxin
36	147	77.4	25	22 AAB97045	Omega-conch toxin
37	145	76.3	25	12 AAR12544	Omega conotoxin pe
38	145	76.3	25	12 AAR12545	Omega conotoxin pe
39	145	76.3	25	12 AAR13264	Omega conotoxin pe
40	145	76.3	25	14 AAR37763	SNX-190. Syntheti
41	145	76.3	25	14 AAR37764	SNX-191. Syntheti
42	145	76.3	25	14 AAR37766	SNX-194. Syntheti
43	145	76.3	25	14 AAR37767	SNX-195. Syntheti
44	145	76.3	25	14 AAR37770	SNX-198. Syntheti
45	145	76.3	25	14 AAR37771	SNX-200. Syntheti

ALIGNMENTS

RESULT 1  
AAY84654  
ID AAY84654 standard; peptide; 32 AA.

XX AC AAY84654;

XX DT 25-JUL-2000 (first entry)

XX DE Amino acid sequence of a cyclised conotoxin peptide.

XX DE Cyclised conotoxin; omega-conotoxin; neurological disorder; pain; stroke;  
KW traumatic brain injury; migraine; epilepsy; Parkinson's disease;  
KW Alzheimer's disease; multiple sclerosis; depression; alpha-conotoxin;  
KW neuropsychiatric disorder; schizophrenia; Tourette's syndrome;  
KW mu-conotoxin.

XX OS Synthetic.

XX OS Conus sp.

XX Key Location/Qualifiers

FT Misc-difference 1..32 /note= "peptide is cyclised via these residues"

FT Peptide 1..26 /note= "conotoxin"

FT Peptide 26..32 /note= "linker"

XX WO200015654-A1.

XX PD 23-MAR-2000.

XX PF 14-SEP-1999; 99WO-AU00769.

```

PR 14-SEP-1998; 98AU-0005895.
XX (UYQU ) UNIV QUEENSLAND.
XX
XX Craik DJ, Daly NL, Nielsen KJ;
XX
XX WPI; 2000-271376/23.
XX
XX Novel cyclized conotoxin peptides useful in the therapeutic treatment
XX of diseases in humans
XX
XX Claim 10; Page 31; 43pp; English.
XX
XX AAY84654-58 represent cyclised conotoxin peptides of the invention. The
XX cyclised peptides have improved properties, compared to their linear
XX counterparts. These include resistance to cleavage by proteases, high
XX chemical stability, improved biophysical properties, reduced side
XX effects and improved bioavailability. Cyclised omega-conotoxin peptides
XX block N-type calcium channels, and so may be useful in the treatment of
XX neurological disorders such as acute and chronic pain, stroke, traumatic
XX brain injury, migraine, epilepsy, Parkinson's disease, Alzheimer's
XX disease, multiple sclerosis, and depression. Alpha-conotoxins may be
XX useful in the treatment of neuropsychiatric disorders such as
XX schizophrenia, Parkinson's disease, Alzheimer's disease and Tourette's
XX syndrome. Mu-conotoxins interact with neuronal channels and may be used
XX to treat chronic and neuropathic pain. The cyclised conotoxin peptides
XX can be also used as neuropharmacological probes. Antibodies raised
XX against the peptides are useful as therapeutic or diagnostic agents,
XX and can be used to screen for the peptides.
XX
XX Sequence 32 AA;
XX
XX Query Match 100.0%; Score 190; DB 21; Length 32;
XX Best Local Similarity 100.0%; Pred. NO. 3.2e-14;
XX Matches 32; Conservative 0; Mismatches 0; Indels 0; Gaps 0;
XX
XX QY 1 CKGKGAKCSRLMYDCTGCSRGKCTRNGLPG 32
XX | | | | | | | | | | | | | | | | | | | |
XX DB 1 CKGKGAKCSRLMYDCTGCSRGKCTRNGLPG 32
XX
XX RESULT 2
XX AAY84655
XX ID AAY84655 standard; peptide: 29 AA.
XX
XX AC AAY84655;
XX
XX DT 25-JUL-2000 (first entry)
XX
XX DE Amino acid sequence of a cyclised conotoxin peptide.
XX
XX KW Cyclised conotoxin; omega-conotoxin; neurological disorder; pain; stroke;
XX traumatic brain injury; migraine; epilepsy; Parkinson's disease;
XX Alzheimer's disease; multiple sclerosis; depression; alpha-conotoxin;
XX neuropsychiatric disorder; schizophrenia; Tourette's syndrome;
XX mu-conotoxin.
XX
XX OS Synthetic.
XX OS Conus sp.
XX
XX FH Key Location/Qualifiers
XX FT Misc-difference 1..29
XX FT /note= "peptide is cyclised via these residues"
XX FT Peptide 1..25
XX FT /note= "conotoxin"
XX FT Peptide 26..29
XX FT /note= "linker"
XX
XX W0200015654-A1.
XX
XX 23-MAR-2000.
XX
XX 14-SEP-1999; 99WO-AU00769.
XX

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XX 14-SEP-1998; 98AU-0005895.
XX (UYQU ) UNIV QUEENSLAND.
XX
XX Craik DJ, Daly NL, Nielsen KJ;
XX
XX WPI; 2000-271376/23.
XX
XX Novel cyclized conotoxin peptides useful in the therapeutic treatment
XX of diseases in humans
XX
XX Claim 10; Page 31; 43pp; English.
XX
XX AAY84654-58 represent cyclised conotoxin peptides of the invention. The
XX cyclised peptides have improved properties, compared to their linear
XX counterparts. These include resistance to cleavage by proteases, high
XX chemical stability, improved biophysical properties, reduced side
XX effects and improved bioavailability. Cyclised omega-conotoxin peptides
XX block N-type calcium channels, and so may be useful in the treatment of
XX neurological disorders such as acute and chronic pain, stroke, traumatic
XX brain injury, migraine, epilepsy, Parkinson's disease, Alzheimer's
XX disease, multiple sclerosis, and depression. Alpha-conotoxins may be
XX useful in the treatment of neuropsychiatric disorders such as
XX schizophrenia, Parkinson's disease, Alzheimer's disease and Tourette's
XX syndrome. Mu-conotoxins interact with neuronal channels and may be used
XX to treat chronic and neuropathic pain. The cyclised conotoxin peptides
XX can be also used as neuropharmacological probes. Antibodies raised
XX against the peptides are useful as therapeutic or diagnostic agents,
XX and can be used to screen for the peptides.
XX
XX Sequence 29 AA;
XX
XX Query Match 91.1%; Score 173; DB 21; Length 29;
XX Best Local Similarity 100.0%; Pred. No. 2.1e-12;
XX Matches 29; Conservative 0; Mismatches 0; Indels 0; Gaps 0;
XX
XX QY 1 CKGKGAKCSRLMYDCTGCSRGKCTRNG 29
XX | | | | | | | | | | | | | | | | | | | |
XX DB 1 CKGKGAKCSRLMYDCTGCSRGKCTRNG 29
XX
XX RESULT 3
XX AAY84656
XX ID AAY84656 standard; peptide; 32 AA.
XX
XX AC AAY84656;
XX
XX DT 25-JUL-2000 (first entry)
XX
XX DE Amino acid sequence of a cyclised conotoxin peptide.
XX
XX KW Cyclised conotoxin; omega-conotoxin; neurological disorder; pain; stroke;
XX traumatic brain injury; migraine; epilepsy; Parkinson's disease;
XX Alzheimer's disease; multiple sclerosis; depression; alpha-conotoxin;
XX neuropsychiatric disorder; schizophrenia; Tourette's syndrome;
XX mu-conotoxin.
XX
XX OS Synthetic.
XX OS Conus sp.
XX
XX FH Key Location/Qualifiers
XX FT Misc-difference 1..32
XX FT /note= "peptide is cyclised via these residues"
XX FT Peptide 1..4
XX FT /note= "linker"
XX FT Peptide 5..29
XX FT /note= "conotoxin"
XX FT Peptide 30..32
XX FT /note= "linker"
XX
XX W0200015654-A1.
XX

```

DR	WPI; 1993-085564/10.
PT	Reducing neuronal damage due to ischaemia - involves using omega
PT	conotoxin peptide or fragment
XX	
PS	Disclosure; Fig 1; 32pp; English.
XX	
CC	The sequence is that of the MVIIA omega conotoxin (OCT) peptide
CC	which can bind to an OCT binding protein, inhibit voltage-gated
CC	calcium currents selectively in neuronal tissue and inhibit neuronal
CC	transmitter release selectively in neuronal tissue. These properties
CC	all occur within the range of those of MVIIB, GVIIA, RVIA, or pre
CC	MVIIA and GVIA OCTs. The peptide can be used in reducing or
CC	preventing both anatomical and functional secondary damage related
CC	to ischemia, generally as associated with stroke.
XX	
SQ	Sequence 25 AA;
	Query Match 79.5%; Score 151; DB 14; Length 25;
	Best Local Similarity 100.0%; Pred. No. 4.9e-10;
	Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;
QY	1 CRKGAKCRLMYDCTGCSRSGKC 25
Db	1 CRKGAKCRLMYDCTGCSRSGKC 25
RESULT 5	
ID	AAR37752
ID	AAR37752 standard; peptide; 25 AA.
AC	AAR37752;
XX	
XX	08-SEP-1993 (first entry)
DT	
DE	MVIIA/SNX-111.
XX	
KW	Ischaemia; neuronal; omega-conotoxin; OCT; MVIIA; MVIIC; MVIID;
KW	MVIIB; GVIA; GVIIA; RVIA; SVIA; TVIA; SVIB; SNX-207; stroke;
KW	delayed treatment; antihistamine; blood pressure;
KW	N-type voltage-gated Ca currents;
KW	N-channel mediated neurotransmitter release.
OS	Synthetic.
XX	
XX	Key Location/Qualifiers
FT	Disulfide-bond 1..16
FT	Disulfide-bond 8..20
FT	Disulfide-bond 15..25
PN	W09310145-A.
XX	
XX	27-MAY-1993.
XX	
XX	12-NOV-1992; 92WO-US09766.
XX	
PR	12-NOV-1991; 91US-0789913.
PR	17-JUL-1992; 92US-0916478.
XX	
PA	(NEUR-) NEUREX CORP.
PI	Bitner RS, Bowersox SS, Fox JA, Miljanich GP, Valentino KL;
PI	Yamashiro DH;
XX	
XX	WPI; 1993-182487/22.
DR	
PT	Redn. of neuronal damage caused by ischaemia - by admin. of cpds.
PT	that bind specifically to omega-conotoxin MVIIA binding sites
XX	
PS	Disclosure; Fig 1; 103pp; English.
XX	
CC	Ischaemia-related neuronal damage in mammals is reduced by admin.
CC	4-24 hr after onset of ischaemia, of a compound (I) which binds

CC selectively to an omega-conotoxin (OCT) MVIIA site in neuronal  
CC tissue. (I) has selectivity at least 100 expressed as ratio of  
CC binding affinity for the MVIIA site to that for the MVIIIC site.  
CC (I) is one of the OCTs MVIIA, MVIIIB, GVIA, GVIIA or RVIA or it is  
CC the cpd. SNX-207. (I) is esp. used to reduce neuronal damage  
CC caused by stroke. By delaying admin. for some time (compare  
CC US051403 where cpds. are given within 1 hr of the onset of  
CC ischaemia) a greater retn. in neuronal damage is achieved. (I) is  
CC admin. e.g. by intracerebroventricular (ICV) injection at 0.1-20  
CC microg/kg, but can also be given i.v. (opt. after treatment with  
CC antihistamines to minimise retn. in blood pressure caused by (I)).  
CC (I) is also at least as effective as the specified conotoxins for (1)  
CC selective inhibition of N-type voltage-gated Ca currents in neuronal  
CC tissue and (2) selective inhibition of N-channel mediated  
CC neurotransmitter release in neuronal tissue.  
CC Primary sequences of omega-conopeptides are given in AAR37752-62.  
CC Several analog omega-conopeptides are given in AAR37763-76.  
XX  
SQ Sequence 25 AA;

Query Match 79.5%; Score 151; DB 14; Length 25;  
Best Local Similarity 100.0%; Pred. No. 4.9e-10;  
Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

QY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
Db 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

RESULT 6  
AAR39608  
ID AAR39608 standard; peptide; 25 AA.  
XX  
AC AAR39608;  
XX  
DT 20-DEC-1993 (first entry)  
XX  
DE MVIIA/SNX111.  
XX  
KW Omega conopeptide; OCT; analgesia; inhibition; voltage-gated;  
KW calcium channel; neurone; contraction; guinea pig; ileum;  
KW MVIIA; binding site; toxin; marine; snail; Conus; opiod;  
KW chronic pain; narcotics.  
XX  
OS Synthetic.  
XX  
FH Key Location/Qualifiers  
FT Disulfide-bond 1..16  
FT Disulfide-bond 8..20  
FT Disulfide-bond 15..25  
FT W09313128-A.  
PN  
XX 08-JUL-1993.  
PD  
XX 30-DEC-1992; 92WO-US11349.  
PF  
XX 30-DEC-1991; 91US-0814759.  
PR  
XX (NEUR-) NEUREX CORP.  
PA  
XX Gohil K, Justice A, Miljanich GP, Singh T, Valentino KL;  
PI WPI; 1993-227270/28.  
DR  
XX Use of omega-cono-peptide(s) which selectively inhibit  
PT voltage-gated calcium channels - to induce analgesia, enhance  
PT opiate analgesics, treat pain etc.  
XX  
XX Claim 1; Fig 1; 90pp; English.  
PS  
XX The sequences given in AAR39608-30 are omega conopeptides (OCTs) and  
CC derivatives of these, which may be used to produce analgesia in a

CC mammal. These OCTs inhibit voltage-gated calcium channels  
CC selectively in neuronal tissue. This is shown by the peptides  
CC ability to stimulate contraction in guinea pig ileum and to bind to  
CC OCT MVIIA binding sites present in neuronal tissue. OCTs are  
CC components of peptide toxins derived from marine snails of the genus  
CC Conus, and act as calcium channel blockers. These OCTs may be used  
CC to replace opiods in the treatment of chronic pain or to reduce the  
CC opiod dosage required. This helps to reduce dependence on and  
CC tolerance to opiod narcotics.  
XX  
SQ Sequence 25 AA;

Query Match 79.5%; Score 151; DB 14; Length 25;  
Best Local Similarity 100.0%; Pred. No. 4.9e-10;  
Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

QY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
Db 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

RESULT 7  
AAR76089  
ID AAR76089 standard; peptide; 25 AA.  
XX  
AC AAR76089;  
XX  
DT 02-FEB-1996 (first entry)  
XX  
DE Omega conotoxin MVIIA peptide.  
XX  
KW Omega conotoxin; marine snail; Conus; voltage-gated Ca channel blocker;  
KW synaptosome; membrane; fish electric organ; mammalian brain; ischaemia;  
KW binding protein; binding affinity; stroke.  
XX  
OS Conus sp.  
XX  
FH Key Location/Qualifiers  
FT Disulfide-bond 1..16  
FT Disulfide-bond 8..20  
FT Disulfide-bond 15..25  
FT Modified-site 25  
FT /note= "amidated C-terminus"  
XX  
XX US5424218-A.  
PN  
XX 13-JUN-1995.  
PD  
XX 22-NOV-1989; 89US-0440094.  
PF  
XX 02-AUG-1990; 90US-0561766.  
PR 22-NOV-1989; 89US-0440094.  
PR 23-MAR-1992; 92US-0855269.  
PR 04-NOV-1993; 93US-0147714.  
XX  
PA (NEUR-) NEUREX CORP.  
XX  
XX Bitner RS, Bowersox SS, Fox JA, Miljanich GP, Valentino KL;  
PI Yamashiro DH;  
XX WPI; 1995-223694/29.  
DR  
XX Identifying cpds. able to reduce neuronal damage caused by ischaemia  
PT - by measuring their affinity to omega conotoxin MVIIA binding site  
PT and ability e.g. to inhibit voltage gated calcium channels  
XX  
XX Disclosure; Fig 1; 31pp; English.  
PS  
XX The peptides AAR76089-95 are naturally occurring omega conotoxin (OCT)  
CC peptides derived from marine snails of the Conus genus. The peptide  
CC sequences were used to chemically synthesise the OCT peptide fragments  
CC AAR76096-RV6109. The OCT peptides act as voltage-gated Ca channel  
CC blockers by binding to a 210 kD protein from synaptosomal membrane

CC preparations from fish electric organ or mammalian brains. The peptides  
 CC and their synthesised fragments can be used to screen for compounds that  
 CC bind to the OCT binding protein, by displacing a high affinity labelled  
 CC OCT, such as MW1A, from a synaptosomal membrane preparation. The  
 CC compounds should have binding affinities and activities at least equal to  
 CC those of the natural peptides (K<sub>i</sub> 0.44-324 nM). The screened compounds  
 CC are potentially useful in treating ischaemic conditions, esp. stroke, and  
 CC can reduce sec. anatomical and functional damage associated with those  
 CC conditions.

XX Sequence 25 AA;

Query Match 79.5%; Score 151; DB 16; Length 25;

Best Local Similarity 100.0%; Pred. No. 4.9e-10;

Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

QY 1 CKGKAKCSRLMYDCTGTCRSGKC 25

Db 1 CKGKAKCSRLMYDCTGTCRSGKC 25

RESULT 8

AAW19569

ID AAW19569 standard; peptide; 25 AA.

XX AC AAW19569;

DT 14-OCT-1997 (first entry)

XX SNX-279, omega conopeptide derivative used for pain relief.

DE Conopeptide; cone snail; pain; analgesic; neuropathy; epidural;

XX N-type voltage-sensitive calcium channel; block; Conus.

KW Synthetic.

XX Key

FT Disulfide-bond 1..16

FT Disulfide-bond 8..20

FT Misc-difference 12

FT /label= Met(O)

FT /note= "sulphoxymethionine"

FT Disulfide-bond 15..25

FT Modified-site 25

FT /note= "amidated"

XX WO9701351-A1.

XX 16-JAN-1997.

XX 26-JUN-1996; 96WO-US11041.

XX 08-MAR-1996; 96US-0613400.

XX 27-JUN-1995; 95US-0496847.

XX (NEUR-) NEUREX CORP.

XX Adriaenssens PI, Amstutz GA, Bowersox SS, Gadbois T;

PI Gohil K, Kristipati R, Luther RR, Pettus MR;

XX WPI; 1997-100012/09.

XX Stable omega conopeptide compositions - for producing analgesia and

PT for inhibiting progression of neuropathic pain disorders

XX Claim 3; Fig 3; 47pp; English.

XX AAW19555-W19572 are omega conopeptides (OCs) derived from natural

CC peptides from Conus sp. (cone snails). The peptides and their analogues

CC are used as analgesics acting by blocking N-type voltage-sensitive

CC calcium channels. The OCs can be used to treat neuropathic pain as a

CC result of e.g. insult to the spinal cord or peripheral nerves, cancer,

CC bone degenerative diseases, AIDS, reflex sympathetic dystrophy, herpes

CC

CC zoster neuropathy, diabetic neuropathy, hyperesthesia, allodynia or  
 CC hyperalgesia. The OCs are preferably administered in a medicament via  
 CC an epidural route in a continuous infusion or sustained release  
 CC formulation. The OCs can provide pain relief when administered  
 CC epidurally in the absence of a permeation enhancer, at doses that are  
 CC comparable to effective analgesic doses using intrathecal administration.  
 CC OC formulations comprising an OC and a carboxylic acid buffer  
 CC anti-oxidant. They also confer stability to solutions containing them for  
 CC prolonged treatment methods and long-term storage.

XX Sequence 25 AA;

Query Match 79.5%; Score 151; DB 18; Length 25;

Best Local Similarity 100.0%; Pred. No. 4.9e-10;

Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

QY 1 CKGKAKCSRLMYDCTGTCRSGKC 25

Db 1 CKGKAKCSRLMYDCTGTCRSGKC 25

RESULT 9

AAW19544

ID AAW19544 standard; peptide; 25 AA.

XX AC AAW19544;

DT 13-OCT-1997 (first entry)

XX Natural omega-conopeptide MW1A/SNX-111 used for pain relief.

DE Conopeptide; cone snail; pain; analgesic; neuropathy; epidural;

XX N-type voltage-sensitive calcium channel; block; Conus.

KW Conus sp.

XX Key

FT Disulfide-bond 1..16

FT Disulfide-bond 8..20

FT Disulfide-bond 15..25

FT Modified-site 25

FT /note= "optionally amidated"

XX WO9701351-A1.

XX 16-JAN-1997.

XX 26-JUN-1996; 96WO-US11041.

XX 08-MAR-1996; 96US-0613400.

XX 27-JUN-1995; 95US-0496847.

XX (NEUR-) NEUREX CORP.

XX Adriaenssens PI, Amstutz GA, Bowersox SS, Gadbois T;

PI Gohil K, Kristipati R, Luther RR, Pettus MR;

XX WPI; 1997-100012/09.

XX Stable omega conopeptide compositions - for producing analgesia and

PT for inhibiting progression of neuropathic pain disorders

XX Claim 3; Fig 1, Fig 3; 47pp; English.

XX AAW19544-W19553 are naturally occurring omega conopeptides (OCs)

CC isolated from Conus sp. (cone snails). The peptides and their analogues

CC are used as analgesics acting by blocking N-type voltage-sensitive

CC calcium channels. The OCs can be used to treat neuropathic pain as a

CC result of e.g. insult to the spinal cord or peripheral nerves, cancer,

CC bone degenerative diseases, AIDS, reflex sympathetic dystrophy, herpes

CC zoster neuropathy, diabetic neuropathy, hyperesthesia, allodynia or

CC hyperalgesia. The OCs are preferably administered in a medicament via

CC an epidural route in a continuous infusion or sustained release

CC formulation. The OCs can provide pain relief when administered  
 CC epidurally in the absence of a permeation enhancer, at doses that are  
 CC comparable to effective analgesic doses using intrathecal administration.  
 CC OC formulations comprising an OC and a carboxylic acid buffer  
 CC anti-oxidant. They also confer stability to solutions containing them for  
 CC prolonged treatment methods and long-term storage.

XX SQ Sequence 25 AA;

Query Match 79.5%; Score 151; DB 18; Length 25;

Best Local Similarity 100.0%; Pred. No. 4.9e-10;

Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

OY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

DB 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

RESULT 10

AAW12967

ID AAW12967 standard; peptide; 25 AA.

XX AC AAW12967;

XX DT 22-APR-1997 (first entry)

XX DE Omega conopeptide SNX-111.

XX KW Omega conopeptide; analgesic; treatment; neuropathic pain;

XX KW inhibition; neuronal damage; schizophrenia; tardive dyskinesia;

XX KW analgesia; acute dystonic reactions; inflammation; epilepsy.

XX OS Synthetic.

XX PN US587454-A.

XX PD 24-DEC-1996.

XX PF 30-DEC-1991; 91US-0814759.

XX PR 15-APR-1993; 93US-0049794.

XX PR 30-DEC-1991; 91US-0814759.

XX PR 30-DEC-1992; 92WO-US11349.

XX PA (NEUR-) NEUREX CORP.

XX PI Gohil KC, Justice A, Miljanich GP, Singh T, Valentino KL;

XX DR WPI; 1997-064830/06.

XX PT Omega conopeptide(s) - useful as analgesics, esp. for treating

XX PT neuropathic pain

XX PS Example 1; Columns 39-40; 58pp; English.

XX CC The present peptide is an omega conopeptide, useful as an  
 CC analgesic, especially for treating neuropathic pain. The peptide,  
 CC which can be prepared by solid phase synthesis, can also be used to  
 CC inhibit neuronal damage and treat schizophrenia, tardive  
 CC dyskinesia, acute dystonic reactions, inflammation and epilepsy.

XX CC In a rat paw formalin test, the peptide had an ED50 of 0.011 microg  
 XX CC in phase 1, and 0.011 microg in phase 2 (by intrathecal  
 XX CC administration).

XX SQ Sequence 25 AA;

Query Match

Best Local Similarity 79.5%; Score 151; DB 18; Length 25;

Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

OY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

DB 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

RESULT 11

AAW72605

ID AAW72605 standard; peptide; 25 AA.

XX AC AAW72605;

XX DT 06-JAN-1999 (first entry)

XX DE Conus genus natural omega-conopeptide MWIIA/SNX-111.

XX KW Conus genus; marine snail; cone snail; omega-conopeptide; analgesia;  
 XX KW nociceptive pain; neuropathic pain; neuronal tissue; conotoxin;  
 XX KW inflammation; schizophrenia; tardive dyskinesia; acute dystonic reaction;  
 XX KW rheumatoid arthritis; epilepsy.

XX OS Conus sp.

XX PN US5824645-A.

XX PD 20-OCT-1998.

XX PF 01-NOV-1996; 96US-0742774.

XX PR 15-APR-1993; 93US-0049794.

XX PR 30-DEC-1991; 91US-0814759.

XX PR 03-JUL-1996; 96US-0675354.

XX PR 01-NOV-1996; 96US-0742774.

XX PA (NEUR-) NEUREX CORP.

XX PI Gohil KC, Justice A, Miljanich GP, Singh T, Valentino KL;

XX DR WPI; 1998-582596/49.

XX PT Treatment of inflammation, comprises administration of  
 XX PT omega-conopeptide - effective to block voltage-gated calcium  
 XX PT channels, bind with high affinity to omega-conopeptide binding site,  
 XX PT and inhibit neuro-transmitter release

XX PS Disclosure; Fig 1; 58pp; English.

XX CC A method has been developed for the treatment of inflammation in a  
 CC subject. The method comprises administration of an omega-conopeptide  
 CC effective to: (i) block voltage-gated calcium channels; (ii) bind with  
 CC high affinity to an omega-conopeptide binding site; and (iii) inhibit  
 CC neurotransmitter release from nervous tissue. The method is used to  
 CC treat inflammation and associated pain. The treatment can also be used  
 CC to produce analgesia (especially in subjects experiencing neuropathic  
 CC pain); and to treat schizophrenia, tardive dyskinesia and acute dystonic  
 CC reactions, rheumatoid arthritis, and epilepsy. The present sequence  
 CC represents a natural omega-conopeptide. Omega-conopeptides are  
 CC components of peptide toxins produced by marine snails of the genus  
 CC Conus, and which act as calcium channel blockers.

XX SQ Sequence 25 AA;

Query Match

Best Local Similarity 79.5%; Score 151; DB 19; Length 25;

Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

OY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

DB 1 CKGKGAKCSRLMYDCTGSCRSKGC 25

RESULT 12

AAW42335

ID AAW42335 standard; peptide; 25 AA.

XX AC AAW42335;

XX XX



Mon Mar 17 08:20:06 2003

FT Disulfide-bond 8..20  
FT Disulfide-bond 15..25  
FT Modified-site 25  
/note= "C-terminal amide"  
XX  
XX  
XX US6087091-A.  
XX  
XX 11-JUL-2000.  
XX  
XX 23-APR-1999; 99US-0298017.  
XX  
XX 01-NOV-1996; 96US-0742774.  
XX 15-APR-1993; 93US-0049794.  
XX 03-JUL-1996; 96US-0675354.  
XX 21-AUG-1998; 98US-0138439.  
XX 30-DEC-1991; 91US-0814759.  
XX  
XX (ELAN-) ELAN PHARM INC.  
XX  
XX  
XX Singh T, Gohil KC, Valentino KL, Miljanich GP, Justice A;  
XX WPI: 2000-490177/43.  
XX  
XX Selecting a compound for producing analgesia involves measuring  
XX activity of test compound in blocking voltage-gated calcium channels,  
XX binding to omega conopeptide binding site and inhibiting norepinephrine  
XX release  
XX  
XX Example 1; Fig 1; 58pp; English.  
XX  
XX The present sequence is an omega-conopeptide from marine snails of  
XX the genus Conus. Omega-conopeptides are components of peptide toxins  
XX produced by the cone snails, and which act as calcium channel blockers.  
XX Natural omega-conopeptides and their derivatives may be useful for  
XX producing analgesia in nociceptive and neuropathic pain. The peptides  
XX bind to omega-conopeptide binding sites, which are present mainly in  
XX neuronal tissue, and inhibit norepinephrine release from nervous tissue.  
XX Conopeptides such as MWIIA and TVIA are effective as therapeutic agents  
XX for treating neurogenic conditions such as schizophrenia, tardive  
XX dyskinesia and acute dystonic reactions, inflammation and epilepsy.  
XX  
XX Sequence 25 AA;  
XX  
XX Query Match 79.5%; Score 151; DB 21; Length 25;  
XX Best Local Similarity 100.0%; Pred. No. 4.9e-10;  
XX Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;  
OY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
DB 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
RESULT 15  
AAAY56473  
ID AAY56473 standard; peptide; 25 AA.  
XX  
XX AC AAY56473;  
XX  
XX DT 16-FEB-2000 (first entry)  
XX  
XX DE Natural omega conopeptide MWIIA/SNX-111.  
XX  
XX KW Omega conopeptide; analgesic; nociceptive; neuropathic; pain;  
XX conotoxin; marine snail; peptide toxin; inflammation; binding;  
XX voltage-gated calcium channel; inhibition; norepinephrine;  
XX noradrenaline; anti-inflammatory.  
XX  
XX OS Conus sp.  
XX  
XX PN US5994305-A.  
XX  
XX PD 30-NOV-1999.  
XX  
XX

PF 21-AUG-1998; 98US-0138439.  
XX  
XX 01-NOV-1996; 96US-0742774.  
XX 15-APR-1993; 93US-0049794.  
XX 03-JUL-1996; 96US-0675354.  
XX 30-DEC-1991; 91US-0814759.  
XX  
XX (ELAN-) ELAN PHARM INC.  
XX  
XX Justice A, Singh T, Valentino KL, Miljanich GP, Gohil KC;  
XX WPI: 2000-038270/03.  
XX  
XX Measuring the activity of test compounds in blocking voltage-gated  
XX calcium channels, binding to the omega conopeptide binding site and  
XX inhibiting norepinephrine (noradrenaline) release for treating  
XX inflammation -  
XX  
XX Disclosure; Fig 1; 47pp; English.  
XX  
XX A method has been developed of selecting a test compound for treating  
XX inflammation. The method comprises measuring the activity of the test  
XX compound in blocking voltage-gated calcium channels, binding to the  
XX omega conopeptide binding site and inhibiting norepinephrine  
XX (noradrenaline) release from nervous tissue. The method is useful for  
XX selecting compounds for treating inflammation. The selected compounds  
XX are capable of producing analgesia in a mammalian subject with chronic  
XX or intractable pain. Analgesia caused by selected compounds may reduce  
XX the reliance on opioid analgesic agents of the prior art which cause  
XX dependency and tolerance, requiring potentially dangerous increases in  
XX opioid doses to achieve the analgesic effect. The present sequence  
XX represents an omega conopeptide given in the present invention.  
XX  
XX Sequence 25 AA;  
XX  
XX Query Match 79.5%; Score 151; DB 21; Length 25;  
XX Best Local Similarity 100.0%; Pred. No. 4.9e-10;  
XX Matches 25; Conservative 0; Mismatches 0; Indels 0; Gaps 0;  
OY 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
DB 1 CKGKGAKCSRLMYDCTGSCRSKGC 25  
Search completed: March 17, 2003, 07:23:40  
Job time : 35.9084 secs